(19) Europäisches Patentamt
European Patent Office
Office européen des brevets

(11) EP 1 334 661 A1

(12) **EUROPEAN PATENT APPLICATION**
published in accordance with Art. 158(3) EPC

(43) Date of publication:
13.08.2003 Bulletin 2003/33

(21) Application number: **01974879.7**

(22) Date of filing: **16.10.2001**

(51) Int Cl.⁷: **A01N 47/34**, A01N 37/18

(86) International application number:
PCT/JP01/09076

(87) International publication number:
WO 02/032226 (25.04.2002 Gazette 2002/17)

(84) Designated Contracting States:
AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE TR
Designated Extension States:
AL LT LV MK RO SI

(30) Priority: **18.10.2000 JP 2000317887**

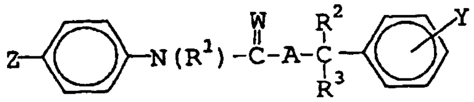
(71) Applicant: **Nihon Nohyaku Co., Ltd.**
Tokyo 103-8236 (JP)

(72) Inventors:
- **YAMAGUTI, Rikio**
  Kawachinagano-shi, Osaka 586-0015 (JP)
- **NISHIMATSU, Tetsuyoshi**
  Kawachinagano-shi, Osaka 586-0094 (JP)
- **TAKAGI, Kazuhiro**
  Osaka-shi, Osaka 550-0014 (JP)

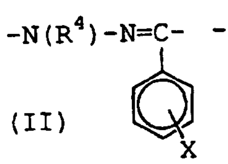
(74) Representative: **Grünecker, Kinkeldey,**
Stockmair & Schwanhäusser Anwaltssozietät
Maximilianstrasse 58
80538 München (DE)

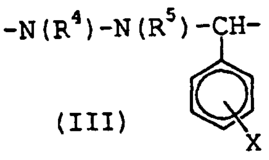
(54) ECTOPARASITIC INSECT PEST CONTROLLERS FOR ANIMALS AND THEIR USAGE

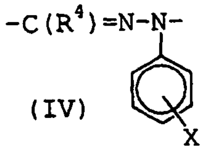
(57)

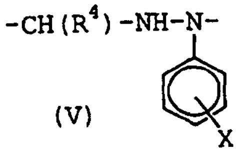


(I)









Ectoparasitic insect pest controllers for animals, containing hydrazine derivatives of the general formula (I) as the active ingredient, and methods for application of the same: (I) [wherein A is (II), (III), (IV), (V) (wherein R^4 and R^5 are each H, C_{1-6} alkyl, or the like; and X is H, or one to five substituents selected from among halogeno and optionally halogenated C_{1-6} alkyl groups); R^1 is H or C_{1-6} alkyl; R^2 and R^3 are each H, OH, C_{1-6} alkyl, phenylcarbonyl, or the like; Y is H, or one to five substituents selected from among halogeno, nitro, and cyano; Z is halogeno, cyano, C_{1-6} alkyl, or the like; and W is O or S]. The insect pest controllers exert remarkable controlling effects on parasitic insect pests harmful to domestic or pet animals, e.g. fleas, lice, ticks.

Printed by Jouve, 75001 PARIS (FR)

Description

TECHNICAL FIELD

[0001] This invention relates to novel ectoparasitic insect pest controllers for animals containing a hydrazine derivative as the active ingredient, and method for application of the same.

BACKGROUND ART

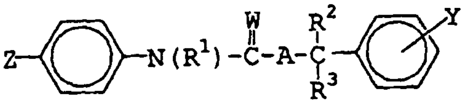
[0002] The hydrazine derivatives represented by the general formula (I) which are used as an active ingredient of the ectoparasitic insect pest controllers for animals of this invention are known compounds disclosed in JP-A-5-4958, JP-A-5-17428, JP-A-5-32603 and JP-A-5-262712, wherein is mentioned that these compounds, as an agrihorticultural insecticide, have a controlling effect on Lepidopterous insect pests such as diamondback moth (Plutella xylostella (Linnaeus)), rice leafroller (Cnaphalocrosis medinalis (Guenee)), etc.; Hemipterous insect pests such as tea green leafhopper (Empoasca onukii Matsuda), pear lace bug (Stephanitis nashi Esaki et Takeya), etc.; Coleopterous insect pests such as twenty-eight-spotted ladybird (Epilachna vigintioctopunctata (Fabricius)), maize weevil (Sitophilus zeamais Motschulsky), etc.; Dipterous insect pests such as melon fly (Dacus (Zeugodacus) cucurbitae Coquillett), muscid flies (Muscidae), Culex pipiens molestus, etc.; and tylenchid insect pests such as coffee root lesion nematode (Pratylenchus coffeae (Zimmermann) Filipjev et Schuurmans Stekhoven), root-knot nematode (Meloidogyne sp.), etc. However, in the above-mentioned prior arts, neither mention nor suggestion is made as to the insecticidal effect of these compounds on the ectoparasitic insect pests of animals such as insect pests belonging to fleas, lice and ticks.

[0003] A variety of chemicals have so far been proposed as an agent for controlling the ectoparasitic insect pests harmful to bred animals such as domestic and pet animals. However, an agent capable of exhibiting a high controlling effect on the ectoparasitic insect pests at a low dose is not yet known, and creation of such a novel agent is waited for.

[0004] The present inventors have conducted extensive studies with the aim of creating a novel agent exerting a remarkable controlling effect on the ectoparasitic insect pests of domestic and pet animals at a low dose. As a result, it has been found that some of the compounds selected from the hydrazine derivatives described in the above-mentioned prior arts have a remarkable controlling effect on the ectoparasitic insect pests of animals. Based on this finding, this invention has been accomplished.

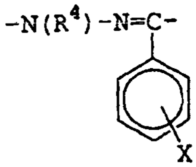
DISCLOSURE OF THE INVENTION

[0005] This invention relates to an ectoparasitic insect pest controller for animals which contains, as active ingredient thereof, a hydrazine derivative represented by the following general formula (I):

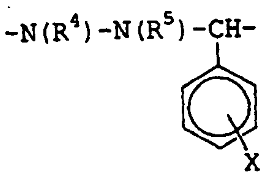


(I)

wherein A represents

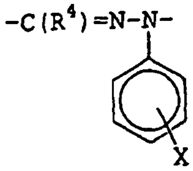


(in this formula, R^4 represents a hydrogen atom or a C_{1-6} alkyl group; X which may be the same or different represents one to five substituents selected from the group consisting of hydrogen atom, halogen atom, C_{1-6} alkyl group and halo C_{1-6} alkyl group);



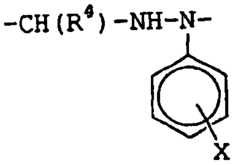
$-N(R^4)-N(R^5)-CH-$

(in this formula, R^4 and X are as defined above, and R^5 represents a hydrogen atom, a C_{1-6} alkylcarbonyl group or a phenylcarbonyl group which may optionally have one or two, the same or different substituents selected from C_{1-6} alkyl groups);



$-C(R^4)=N-N-$

(in this formula, R^4 and X are as defined above), or



$-CH(R^4)-NH-N-$

(in this formula, R^4 and X are as defined above);

R^1 represents a hydrogen atom or a C_{1-6} alkyl group;

R^2 and R^3 which may be the same or different, each represents a hydrogen atom, a hydroxyl group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylcarbonyl group or a phenylcarbonyl group;

Y which may be the same or different, represents one to five substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group;

Z represents a halogen atom, a cyano group, a C_{1-6} alkyl group, a halo C_{1-6} alkyl group, a C_{1-6} alkoxy group, a halo C_{1-6} alkoxy group, a halo C_{1-6} alkylthio group, a halo C_{1-6} alkylsulfinyl group or a halo C_{1-6} alkylsulfonyl group; and

W represents an oxygen atom or a sulfur atom; and to a method for using said insect pest controller.

[0006] The ectoparasitic insect pest controller for animals of this invention exerts a remarkable controlling effect on the parasitic insect pests harmful to domestic and pet animals, such as fleas, lice, ticks, etc.

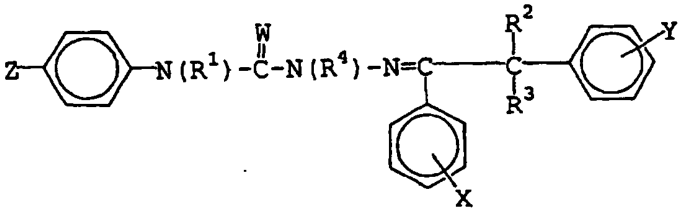
BEST MODE FOR CARRYING OUT THE INVENTION

[0007] In the definition of the general formula (I) of this invention, "halogen atom" means chlorine atom, bromine atom, iodine atom or fluorine atom; "C_{1-6} alkyl" means a straight or branched chain alkyl group having 1-6 carbon atoms; and "halo C_{1-6} alkyl" means an alkyl group having 1-6 carbon atoms, substituted with at least one halogen atoms which may be the same or different. The hydrazine derivatives represented by the general formula (I) used as an active ingredient of the ectoparasitic insect pesst controller for animals of this invention can be produced according to the production processes mentioned in JP-A-5-4958, JP-A-5-17428, JP-A-5-32603 and JP-A-5-262712.

[0008] Among the hydrazine derivatives of this invention represented by the general formula (I), preferable are the hydrazine derivatives represented by the general formulas (I-1) and (I-2) and, in such preferable compounds, the substituent W is an oxygen atom, the substituent X is a trifluoromethyl group, the substituent Y is a cyano group, the substituent Z is a trifluoromethoxy group, and R^1, R^2, R^3 and R^4 simultaneously represent a hydrogen atom. As a furtherpreferablecompound,forexample,(E)-2-[2-(4-cyanophenyl)-1-(3-trifluoromethylphenyl)ethylidene]-N-(4-trifluoromethoxyphenyl)hydrazine carboxamide can be referred to.

[0009] Next, typical examples of the hydrazine derivative represented by the general formula (I) which is an active ingredient of the ectoparasitic insect pest controller for animals of this invention will be shown in the following Tables 1 to 4. This invention, however, is not limited by these compounds. In the tables, Ph means a phenyl group.

General formula (I-1)



(I-1)

Table 1

No	R^1	R^2	R^3	R^4	X	Y	Z	W	mp°C
1	H	H	H	H	H	H	Cl	O	199
2	H	H	H	H	H	H	OCF_3	O	149
3	H	H	H	H	H	4-Cl	Cl	O	206
4	H	H	H	H	H	4-Cl	OCF_3	O	197
5	H	H	H	H	H	4-CN	Cl	O	217
6	H	H	H	H	H	4-CN	Cl	S	128
7	H	H	H	H	H	4-CN	OCF_3	S	116
8	H	H	H	H	H	4-CN	OCF_3	O	214 E-form
9	H	H	H	H	H	4-CN	OCF_3	O	159 Z-form
10	H	H	H	H	H	4-NO_2	Cl	O	222
11	H	H	H	H	H	4-NO_2	Cl	S	206
12	H	H	H	H	H	4-NO_2	OCF_3	O	189
13	H	H	H	H	H	4-NO_2	OCF_3	S	139
14	H	H	H	H	H	4-NO_2	SCF_3	O	200
15	H	H	H	H	3-Cl	H	OCF_3	O	212
16	H	H	H	H	3-Cl	4-Cl	OCF_3	O	201
17	H	H	H	H	3-Cl	4-CN	Cl	O	206
18	H	H	H	H	3-Cl	4-CN	OCF_3	O	187 E-form
19	H	H	H	H	3-Cl	4-CN	OCF_3	O	148 Z-form
20	H	H	H	H	3-Cl	4-CN	OCF_3	S	199
21	H	H	H	H	3-Cl	4-CN	SCF_3	O	215
22	H	H	H	H	3-Cl	4-CN	$SOCF_3$	O	205
23	H	H	H	H	3-Cl	4-CN	SO_2CF_3	O	212
24	H	H	H	H	3-Br	H	Cl	O	191
25	H	H	H	H	3-Br	H	OCF_3	O	209
26	H	H	H	H	3-Br	4-CN	Cl	O	205
27	H	H	H	H	3-Br	4-CN	OCF_3	O	176

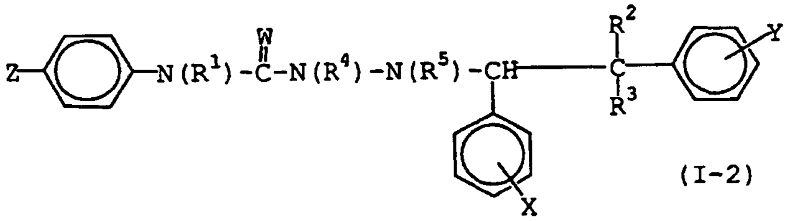
Table 1 (continued)

No	R^1	R^2	R^3	R^4	X	Y	Z	W	mp°C
28	H	H	H	H	3-Br	4-CN	SCF_3	O	206
29	H	H	H	H	3-Br	4-CN	$SOCF_3$	O	216
30	H	H	H	H	3-Br	4-CN	SO_2CF_3	O	215
31	H	H	H	H	3-F	H	Cl	O	206
32	H	H	H	H	3-F	H	OCF_3	O	200
33	H	H	H	H	3-F	4-Cl	OCF_3	O	191
34	H	H	H	H	3-F	4-Cl	Cl	O	208
35	H	H	H	H	3-F	4-CN	OCF_3	O	202
36	H	H	H	H	3-I	4-CN	Cl	O	213
37	H	H	H	H	3-I	4-CN	OCF_3	O	201
38	H	H	H	H	3-CH_3	H	Cl	O	185
39	H	H	H	H	3-CH_3	H	OCF_3	O	198
40	H	H	H	H	3-CH_3	4-CN	Cl	O	200
41	H	H	H	H	3-CH_3	4-CN	OCF_3	O	189
42	H	H	H	H	3-CF_3	H	Cl	O	206
43	H	H	H	H	3-CF_3	H	OCF_3	O	210
44	H	H	H	H	3-CF_3	4-CN	OCF_3	O	191
45	H	H	H	H	3-CF_3	4-CN	OCF_3	S	149
46	CH_3	H	H	H	H	H	Cl	O	132
47	CH_3	H	H	H	H	H	OCF_3	O	108
48	H	CH_3	H	H	H	H	Cl	O	98
49	H	CH_3	H	H	H	H	Br	O	85
50	H	CH_3	H	H	H	H	OCF_3	O	115 EZ-form
51	H	CH_3	H	H	H	H	OCF_3	O	95 E-form
52	H	CH_3	H	H	H	H	OCF_3	O	66 Z-form
53	H	CH_3	H	H	H	4-Cl	Cl	O	121
54	H	CH_3	H	H	H	4-Cl	OCF_3	O	105
55	H	CH_3	H	H	3-Cl	4-CN	Cl	O	140
56	H	CH_3	H	H	3-Cl	4-CN	OCF_3	O	98
57	H	H	OH	H	H	H	Cl	O	188
58	H	H	OH	H	H	H	OCF_3	O	170
59	H	H	OH	H	H	4-Cl	Cl	O	Viscous material
60	H	H	OH	H	H	4-Cl	OCF_3	O	185 E-form
61	H	H	OH	H	H	4-Cl	OCF_3	O	95 Z-form
62	H	H	OH	H	H	4-CN	Cl	O	Viscous material
63	H	H	OH	H	H	4-CN	OCF_3	O	113
64	H	H	CH_3	H	H	H	Cl	O	164
65	H	H	CH_3	H	H	H	OCF_3	S	118
66	H	H	OCH_3	H	H	H	Cl	O	183
67	H	H	OCH_3	H	H	H	OCF_3	O	181
68	H	H	OC_3H_7-i	H	H	H	Cl	O	155
69	H	H	OC_3H_7-i	H	H	H	OCF_3	O	193
70	H	H	OC_4H_9-i	H	H	H	Cl	O	176

Table 1 (continued)

No	R^1	R^2	R^3	R^4	X	Y	Z	W	mp°C
71	H	H	OC_4H_9-i	H	H	H	OCF_3	O	184
72	H	H	O-CO-CH_3	H	H	H	OCF_3	O	182
73	H	H	O-CO-Ph	H	H	H	OCF_3	O	168
74	H	H	OH	CH_3	H	H	Cl	O	115
75	H	H	OH	CH_3	H	H	OCF_3	O	130
76	H	H	H	H	3-F	4-CN	SCF_3	O	214
77	H	H	H	H	3-F	4-CN	$SOCF_3$	O	214
78	H	H	H	H	4-F	4-CN	SO_2CF_3	O	165
79	H	H	H	H	3-Cl	4-CN	$SOCF_3$	O	157
80	H	H	H	H	3-CF_3	4-CN	SCF_3	O	215
81	H	H	H	H	3-CF_3	4-CN	$SOCF_3$	O	210
82	H	H	H	H	3-CF_3	4-CN	OCF_3	O	152 Z-form
83	H	H	H	H	3-CF_3	4-CN	Cl	O	165

General Formula (I-2)



(I-2)

Table 2

(R¹ and R³ are hydrogen atoms.)								
No	R^2	R^4	R^5	X	Y	Z	W	mp°C
84	H	H	H	H	H	Cl	O	211
85	H	H	H	H	H	OCF_3	O	194
86	H	H	H	H	4-Cl	OCF_3	O	209
87	H	H	H	H	4-CN	OCF_3	O	204
88	H	H	H	H	4-NO_2	OCF_3	O	203
89	H	H	H	3-F	4-Cl	OCF_3	O	203
90	H	H	H	3-Cl	4-Cl	OCF_3	O	176
91	H	H	H	3-Cl	4-CN	OCF_3	O	193
92	H	H	H	3-Cl	4-CN	SCF_3	O	177
93	H	H	H	3-Cl	4-CN	$SOCF_3$	O	178
94	H	H	H	3-Cl	4-CN	SO_2CF_3	O	170
95	H	H	H	3-Br	4-CN	OCF_3	O	187
96	H	H	H	3-CF_3	4-CN	OCF_3	O	165
97	H	H	H	3-CF_3	4-CN	SCF_3	O	164
98	H	H	H	H	4-Cl	OCF_3	S	171
99	H	H	H	3-Cl	4-CN	OCF_3	S	149
100	H	H	H	3-CF_3	4-CN	OCF_3	S	209

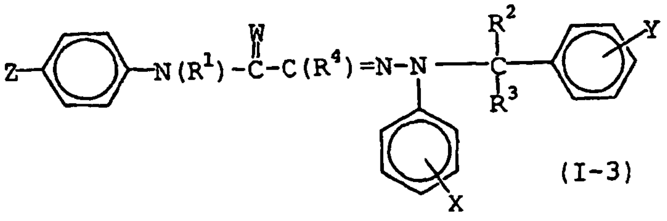
Table 2 (continued)

(R¹ and R³ are hydrogen atoms.)

No	R^2	R^4	R^5	X	Y	Z	W	mp°C
101	H	H	$CO-CH_3$	3-Cl	4-CN	OCF_3	O	178
102	H	H	CO-Ph	3-Cl	4-CN	OCF_3	O	221
103	H	H	$CONHC_2H_5$	3-Cl	4-CN	OCF_3	O	201
104	H	OH	H	H	H	OCF_3	O	190
105	H	OCH_3	H	H	H	Cl	O	195
106	H	OCH_3	H	H	H	OCF_3	O	183
107	H	OCH_3	H	H	H	OCF_3	O	186
108	CH_3	H	H	3-Cl	4-CN	OCF_3	O	156
109	H	H	H	H	4-F	OCF_3	O	209
110	H	H	H	H	4-Br	Cl	O	233
111	H	H	H	H	4-Br	OCF_3	O	201
112	H	H	H	H	3-CN	OCF_3	O	176
113	H	H	H	H	$2-NO_2$	OCF_3	O	197
114	H	H	H	3-F	4-CN	OCF_3	O	189
115	H	H	H	3-F	4-CN	SCF_3	O	189
116	H	H	H	3-F	4-CN	$SOCF_3$	O	166
117	H	H	H	$3-CF_3$	4-CN	OCF_3	O	131 - Isomer
118	H	H	H	$3-CF_3$	4-CN	OCF_3	O	126 +Isomer
119	H	H	H	$3-CF_3$	4-CN	$SOCF_3$	O	Glassy material
120	H	H	H	$3-CF_3$	4-CN	SO_2CF_3	O	Glassy material
121	H	H	H	H	3-CN	OCF_3	O	120

Notes: In this table, Ph represents a phenyl group. Compound Nos. 106 and 107 are diastereomers. Compound No. 106 is higher than Compound No. 107 in Rf value.

General formula (I-3)



(I-3)

Table 3

(In this table, R² and R³ are hydrogen atoms, and W is an oxygen atom.)

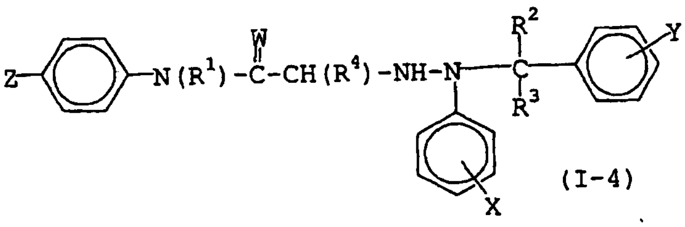
No	R^1	R^4	X	Y	Z	mp°C· Refractive index
122	H	H	H	H	OCF_3	113.3-114.0
123	H	H	H	4-Cl	OCF_3	137.8

Table 3 (continued)

(In this table, R^2 and R^3 are hydrogen atoms, and W is an oxygen atom.)

No	R^1	R^4	X	Y	Z	mp°C· Refractive index
124	H	H	H	4-CN	Cl	163
125	H	H	H	4-CN	OCF_3	138
126	H	H	3-Cl	4-Cl	Cl	143.5-144.0
127	H	H	3-Cl	4-Cl	OCF_3	139.6-141.5
128	H	H	3-Cl	4-NO_2	Cl	174.0-176.5
129	H	H	3-Cl	4-NO_2	OCF_3	151.6-151.7
130	H	H	3-Cl	4-CN	Cl	191.0-192.0
131	H	H	3-Cl	4-CN	OCF_3	160.5-162.0
132	H	H	3-Cl	4-CN	SCF_3	188.0
133	H	H	3-Cl	4-CN	$SOCF_3$	206.1
134	H	H	3-F	4-CN	Cl	154-156
135	H	H	3-F	4-CN	OCF_3	155.9-156.8
136	H	H	3-CH_3	4-CN	Cl	127
137	H	H	3-CH_3	4-CN	OCF_3	166
138	H	H	3-CF_3	4-CN	Cl	164-165
139	H	H	3-CF_3	4-CN	OCF_3	151.0
140	H	CH_3	3-Cl	4-CN	OCF_3	nD 1.5950 (25°C)
141	CH_3	H	3-CF_3	4-CN	Cl	209-211
142	H	H	3-Cl	2-CN	OCF_3	148

General formula (I-4)



(I-4)

Table 4

(In this formula, R^1, R^2, R^3 and R^4 are hydrogen atoms, and W is an oxygen atom.)

No	X	Y	Z	mp°C Refractive index
143	H	H	OCF_3	51.0-53.0
144	H	4-Cl	OCF_3	92.1
145	H	4-CN	Cl	106-108
146	H	4-CN	OCF_3	nD 1.5685(27°C)
147	3-Cl	4-Cl	Cl	105.3-106.4
148	3-Cl	4-Cl	OCF_3	38.0
149	3-Cl	4-NO_2	Cl	Viscous material
150	3-Cl	4-NO_2	OCF_3	Viscous material
151	3-Cl	4-CN	Cl	153.1
152	3-Cl	4-CN	OCF_3	43.5-45.0
153	3-F	4-CN	Cl	164-165

Table 4 (continued)

(In this formula, R^1, R^2, R^3 and R^4 are hydrogen atoms, and W is an oxygen atom.)				
No	X	Y	Z	mp°C Refractive index
154	3-F	4-CN	OCF_3	nD 1.5615(27°C)
155	3-CH_3	4-CN	Cl	138-139
156	3-CH_3	4-CN	OCF_3	nD 1.5315(28°C)
157	3-CF_3	4-CN	Cl	43
158	3-CF_3	4-CN	OCF_3	153.1

[0010] Some of the compounds shown in Tables 1 to 4 are viscous substances or glassy substances. ^{1}H-NMR date of these compounds are shown in Table 5.

No	^{1}H-NMR[$CDCl_3$/TMS, δ value (ppm)]
59	6.29(s,1H), 7.65-7.92(m,13H), 9.14(bs,1H), 10.70(bs,1H). (DMSO-d_6)
62	3.88(bs,1H), 3.87(s,1H), 6.91-7.55(m,13H), 7.73(s,1H), 8.13(bs,1H).
119	3.12(dd,1H), 3.23(dd,1H), 4.12-4.32(m,2H), 6.13(bs,1H), 7.24-7.93(m,12H), 8.08(bs,1H).
120	3.11(dd,1H), 3.23(dd,1H), 4.13-4.28(m,2H), 5.97(s,1H), 7.25-7.75(m,12H), 7.90-8.00(bs,1H).
149	3.65(d,2H), 4.20(t,1H), 4.70(s,2H), 6.85(dd,1H), 6.93(dd,1H), 7.08(dd,1H), 7.15-7.21(m,3H),7.24(d,2H), 7.40(d,2H), 8.13(d,2H), 8.40(s,1H).
150	3.64(s,2H), 4.69(s,2H), 6.84(dd,1H), 6.94(dd,1H), 7.09(m,3H), 7.23(t,1H), 7.29(d,2H), 7.40(d,2H), 8.12(d,2H), 8.40(s,1H).

[0011] The ectoparasitic insect pest controller for animals of this invention can be used for domestic animals such as cattle, horse, sheep, etc.; and pets such as dog, cat, etc.; as well as for Rodential animals such as mouse, rat, hamster, squirrel, etc.; Lagomorphous animals, Carnivorous animals such as ferret, etc.; and birds such as duck, chicken, pigeon, etc. As the ectoparasitic pest insects of these animals, for example, the insects belonging to fleas such as

cat flea (Ctenocephalides felis),
dog flea (Ctenocephalides canis),
oriental rat flea (Xenopsylla cheopis), etc.; the insects belonging to ticks such as
Haemaphysalis longicornis,
cattle tick (Boophilus microplus), etc.; and the insects belonging to lice such as
cattle louse (Haematopinus eurystemus),
sheep louse (Damalinia ovis), etc. can be referred to.

[0012] For an effective use of the ectoparasitic insect pest controllers for animals of this invention represented by the general formula (1), the controller is compounded with an appropriate solid carrier and/or liquid carrier and, if necessary, adjuvants and the like in an appropriate proportion according to the conventional recipe in the drug making and fixed on the carriers by dissolution, suspension, mixing, impregnation, adsorption or adhesion. The resulting mixture is formed into an appropriate preparation form such as liquid preparation, emulsion, cream, ointment, suspension, aerosol, etc. according to the purpose of the use, and then the formed preparation is put to use.

[0013] The solid or liquid carriers used in this invention may be the carriers conventionally used for agents for animals. From the viewpoint of easiness of treatment on the objective animals, the use of liquid carriers is preferable. As examples of the liquid carrier, alcohols such as methyl alcohol, ethyl alcohol, isopropyl alcohol, tertiary butyl alcohol, benzyl alcohol and the like; propylene carbonate; N-methyl-2-pyrrolidone; water, etc. can be referred to.

[0014] According to the need, adjuvants can be incorporated into the controller of this invention. As the adjuvants, surfactants, antioxidants, emulsifiers, etc. can be referred to. More specific examples of the adjuvants include surfactants such as polyoxyethylene alkylaryl ether, polyoxyethylene sorbitan monolaurate, alkylaryl sorbitan monolaurate, alkylbenzenesulfonates, alkylnaphthalene-sulfonic acid, ligninsulfonic acid salts, higher alcohol sulfate salts, glycol monoalkyl ethers, glycols, and the like; emulsifiers such as sorbitan monooleate, sorbitan monolaurate, caprylic acid monoglyceride, capric acid monoglyceride, isostearic acid monoglyceride, propylene glycol monocaprylate, etc; and antioxidants such as BHA, BHT, etc.

[0015] The ectoparasitic insect pest controller composition for animals of this invention can contain the insect pest controller of this invention as an active ingredient in an amount of 0.01-80.0% by weight per 100 parts by weight of the present composition.

[0016] The methods for using the ectoparasitic insect pest controller for animals of this invention include a pot-on treatment which comprises dropping a liquid agent onto the skin of the back shoulder region of th objective animal and thereby controlling the ectoparasitic insect pest; and a local treatment such as pore-on which comprises applying a liquid agent along the back center line of the objective animal and the allowing the applied agent to diffuse on the body surface and thereby controlling the ectoparasitic insect pest; as well as a treatment method of supporting the agent on a collar or the like from which the agent is released; a treatment method of directly coating a liquid agent or an ointment onto the body surface; a treatment method of applying an aerosol of a liquid agent by means of spray or the like; etc. The dose of the agent may be appropriately selected from a range of about 0.1 to 500 mg as expressed in terms of active ingredient compound, and from a range of about 0.01 to 20 ml as expressed in terms of the insect pest controller of this invention, both per kilogram of body weight of the objective animal.

[0017] According to the need, the ectoparasitic insect pest controller for animals of this invention may be used in combination with other active ingredients. As said "other active ingredient", for example, the following can be referred to:

pyrethroid compounds such as permethrin, phenothrin, allethrin, pyrethrin, prallethrin, cyphenothrin, cyfluthrin, fenvalerate, fenpropathrin, transfluthrin, etc.;

organic phosphorus compounds such as dichlorvos, tetrachlorvinphos, fenthion, chlorpyrifos, diazinon, etc.;

N-phenylpyrazole compounds such as fipronil, etc.;

carbamate compounds such as propoxur, carbaryl, metoxadiazone, fenocarb, etc.;

neonicotinoid compounds; etc.

EXAMPLES

Example 1

[0018]

A compound shown in Tables 1-4	5 parts
Hexylene glycol	50 parts
Isopropanol	45 parts

[0019] The above-mentioned ingredients were uniformly mixed and dissolved together to obtain a liquid formulation.

Example 2

[0020]

A compound shown in Tables 1-4	10 parts
Polyoxyethylene styrylphenyl ether	10 parts
Cylohexanone	80 parts

[0021] The above-mentioned ingredients were uniformly mixed and dissolved together to obtain an emulsifier.

Test Example 1

[0022] A spot-on agent was prepared by mixing and dissolving 10 parts by weight of Compound 44 or Compound 96 in 90 parts by weight of diethylene glycol monoethyl ether. One day before the day of administration, 30 fleas [adult worm of cat flea (Ctenocephalides felis)] were made to parasitize a cat, and the cat was let wear an Elizabeth collar on the neck. Then, 0.4 ml of a test agent was applied to the skin of back shoulder area of the cat (alive body weight 3.2 kg). One day after and three days after the treatment using the agent, the number of parasitic fleas was counted by means of a flea-catching comb. After counting the number of parasitic fleas, the whole fleas were again made to parasitize the cat. As a blank test, fleas were made to parasitize an untreated cat in the same manner as above, and the number of parasitic fleas was counted. The controlling rate was calculated according to the following formula, and the result was judged according to the criterion shown below.

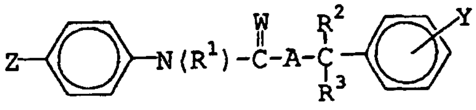
$$\text{Controlling rate (\%)} = \frac{\text{Parasitic rate in untreated group - Parasitic rate in treated group}}{\text{Parasitic rate in untreated group}} \times 100$$

Criterion of judgement	Controlling rate (%)
A	100
B	99-90
C	89-80
D	79-50

[0023] Thus, both the ectoparasitic insect pest controllers for animals containing Compound No. 44 and Compound No. 96 of this invention gave a judgement of A.

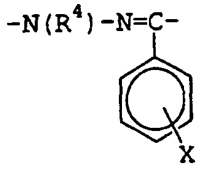
Claims

1. An ectoparasitic insect pest controller for animals which contains, as active ingredient thereof, a hydrazine derivative represented by the following general formula (I):

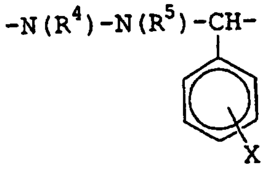


(I)

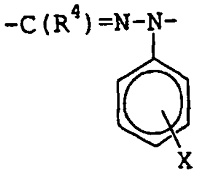
wherein A represents



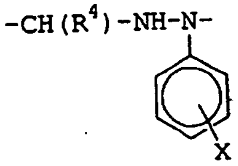
(in this formula, R^4 represents a hydrogen atom or a C_{1-} alkyl group; X which may be the same or different represents one to five substituents selected from the group consisting of hydrogen atom, halogen atom, C_{1-6} alkyl group and halo C_{1-6} alkyl group);



(in this formula, R^4 and X are as defined above, and R^5 represents a hydrogen atom, a C_{1-6} alkylcarbonyl group o a phenylcarbonyl group which may optionally have one or two, the same or different substituents selected from C_{1-6} alkyl groups);



(in this formula, R^4 and X are as defined above), or



(in this formula, R^4 and X are as defined above);

R^1 represents a hydrogen atom or a C_{1-6} alkyl group;

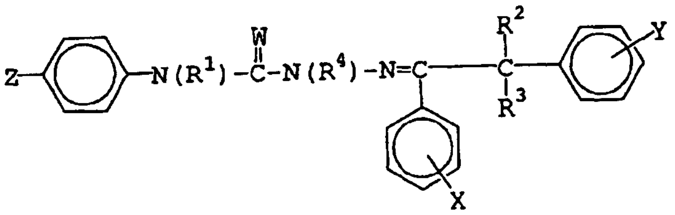
R^2 and R^3 which may be the same or different, each represents a hydrogen atom, a hydroxyl group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylcarbonyl group or a phenylcarbonyl group;

Y which may be the same or different, represents one to five substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group;

Z represents a halogen atom, a cyano group, a C_{1-6} alkyl group, a halo C_{1-6} alkyl group, a C_{1-6} alkoxy group, a halo C_{1-6} alkoxy group, a halo C_{1-6} alkylthio group, a halo C_{1-6} alkylsulfinyl group or a halo C_{1-6} alkylsulfonyl group; and

W represents an oxygen atom or a sulfur atom.

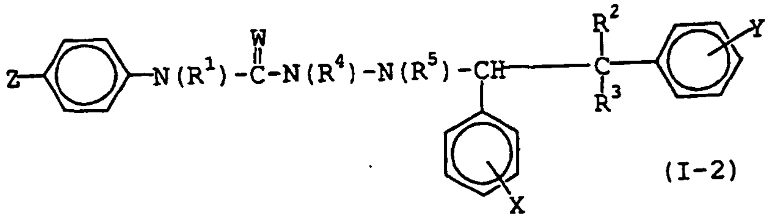
2. An ectoparasitic insect pest controller for animals according to Claim 1, represented by the following general formula (I-1):



(I-1)

wherein R^1 represents a hydrogen atom or a C_{1-6} alkyl group; R^2 and R^3 which may be the same or different, each represents a hydrogen atom, a hydroxyl group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylcarbonyl group or a phenylcarbonyl group; R^4 represents a hydrogen atom or a C_{1-6} alkyl group; X which may be the same or different represents 1-5 substituents selected from the group consisting of hydrogen atom, halogen atom, C_{1-6} alkyl group and halo C_{1-6} alkyl group; Y which may be the same or different represents 1-5 substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group; Z represents a halogen atom, a cyano group, a C_{1-6} alkyl group, a halo C_{1-6} alkyl group, a C_{1-6} alkoxy group, a halo C_{1-6} alkoxy group, a halo C_{1-6} alkylthio group, a halo C_{1-6} alkylsulfinyl group or a halo C_{1-6} alkylsulfonyl group; and W represents an oxygen atom or a sulfur atom.

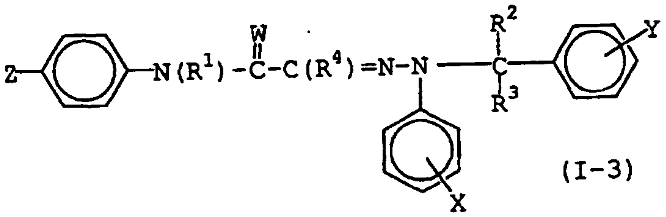
3. An ectoparasitic insect pest controller for animals according to Claim 1, represented by the following general formula (I-2):



(I-2)

wherein R^1 represents a hydrogen atom or a C_{1-6} alkyl group; R^2 and R^3 which may be the same or different, each represents a hydrogen atom, a hydroxyl group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylcarbonyl group or a phenylcarbonyl group; R^4 represents a hydrogen atom or a C_{1-6} alkyl group; R^5 represents a hydrogen atom, a C_{1-6} alkylcarbonyl group or a phenylcarbonyl group which may optionally have one or two, the same or different substituents selected from C_{1-6} alkyl groups; X which may be the same or different represents 1-5 substituents selected from the group consisting of hydrogen atom, halogen atom, C_{1-6} alkyl group and halo C_{1-6} alkyl group; Y which may be the same or different represents 1-5 substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group; Z represents a halogen atom, a cyano group, a C_{1-6} alkyl group, a halo C_{1-6} alkyl group, a C_{1-6} alkoxy group, a halo C_{1-6} alkoxy group, a halo C_{1-6} alkylthio group, a halo C_{1-6} alkylsulfinyl group or a halo C_{1-6} alkylsulfonyl group; and W represents an oxygen atom or a sulfur atom.

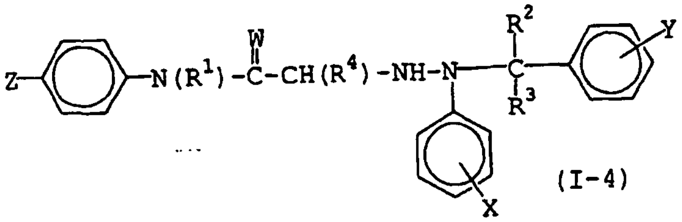
4. An ectoparasitic insect pest controller for animals according to Claim 1, represented by the following general formula (I-3):



(I-3)

wherein R^1 represents a hydrogen atom or a C_{1-6} alkyl group; R^2 and R^3 which may be the same or different, each represents a hydrogen atom, a hydroxyl group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylcarbonyl group or a phenylcarbonyl group; R^4 represents a hydrogen atom or a C_{1-6} alkyl group; X which may be the same or different represents 1-5 substituents selected from the group consisting of hydrogen atom, halogen atom, C_{1-6} alkyl group and halo C_{1-6} alkyl group; Y which may be the same or different represents 1-5 substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group; Z represents a halogen atom, a cyano group, a C_{1-6} alkyl group, a halo C_{1-6} alkyl group, a C_{1-6} alkoxy group, a halo C_{1-6} alkoxy group, a halo C_{1-6} alkylthio group, a halo C_{1-6} alkylsulfinyl group or a halo C_{1-6} alkylsulfonyl group; and W represents an oxygen atom or a sulfur atom.

5. An ectoparasitic insect pest controller for animals according to Claim 1, represented by the following general formula (I-4):



(I-4)

wherein R^1 represents a hydrogen atom or a C_{1-6} alkyl group; R^2 and R^3 which may be the same or different, each represents a hydrogen atom, a hydroxyl group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylcarbonyl group or a phenylcarbonyl group; R^4 represents a hydrogen atom or a C_{1-6} alkyl group; X which may be the same or different represents 1-5 substituents selected from the group consisting of hydrogen atom, halogen atom, C_{1-6} alkyl group and halo C_{1-6} alkyl group; Y which may be the same or different represents 1-5 substituents selected from the group consisting of hydrogen atom, halogen atom, nitro group and cyano group; Z represents a halogen atom, a cyano group, a C_{1-6} alkyl group, a halo C_{1-6} alkyl group, a C_{1-6} alkoxy group, a halo C_{1-6} alkoxy group, a halo C_{1-6} alkylthio group, a halo C_{1-6} alkylsulfinyl group or a halo C_{1-6} alkylsulfonyl group; and W represents an oxygen atom or a sulfur atom.

6. A method for using an ectoparasitic insect pest controller for animals, **characterized by** treating the body surface of an animal with an effective quantity of the ectoparasitic insect pest controller for animals according to any one of Claims 1-5.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP01/09076

A. CLASSIFICATION OF SUBJECT MATTER
Int.Cl^7 A01N47/34, A01N37/18

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
Int.Cl^7 A01N47/34, A01N37/18

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAPLUS (STN), REGISTRY (STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X A	WO 92/06076 A1 (E.I. du Pont de Nemours and Co.), 16 April, 1992 (16.04.92), page 55, lines 58 to 60 & CA 2093351 A1 & EP 553284 A1 & JP 06-502414 A	1,2,6 3-5
A	EP 51786 A1 (Bayer AG), 19 May, 1982 (19.05.82), & DE 3041814 A1 & BR 8107194 A & JP 57-106601 A	1-6

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:
"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier document but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"&" document member of the same patent family

Date of the actual completion of the international search
08 January, 2002 (08.01.02)

Date of mailing of the international search report
22 January, 2002 (22.01.02)

Name and mailing address of the ISA/
Japanese Patent Office

Facsimile No.

Authorized officer

Telephone No.

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP01/09076

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

The matter common to claims 1-6 is "ectoparasitic insect pest controllers for animals represented by the general formula (I)".

Among the controllers represented by the general formula (I), however, those represented by the general formula (I-1) are not novel in the sight of the prior art. Therefore, the common matter "ectoparasitic insect pest controllers for animals represented by the general formula (I)" is not "a special technical feature" and claims 1-6 are therefore not so linked as to form a single general inventive concept.

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☒ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest ☐ The additional search fees were accompanied by the applicant's protest.
☐ No protest accompanied the payment of additional search fees.

Form PCT/ISA/210 (continuation of first sheet (1)) (July 1992)